

[illegible]

5000 (12)

(19) W



(10) International Publication Number
WO 01/60383 A1

PCT

- (51) **International Patent Classification⁷:** **A61K 31/70,**
C07H 19/167, 19/173

(21) **International Application Number:** PCT/US01/05320

(22) **International Filing Date:** 16 February 2001 (16.02.2001)

(25) **Filing Language:** English

(26) **Publication Language:** English

(30) **Priority Data:**
60/183,422 18 February 2000 (18.02.2000) US

(71) **Applicant (for all designated States except US):** **SOUTHERN RESEARCH INSTITUTE** [US/US]; 2000 9th Avenue South, Birmingham, AL 35205 (US).

(72) **Inventors; and**

(75) **Inventors/Applicants (for US only):** **MONTGOMERY, John, A.** [US/US]; 2215 Brookshire Place, Birmingham, AL 35213 (US). **FOWLER, Anita, T.** [US/US]; 1308 Sumar Road, Birmingham, AL 35213 (US). **SECRIST, John, A., III** [US/US]; 5564 Surrey Lane, Birmingham, AL 35243 (US).

(74) **Agents:** **AMERNICK, Burton, A.** et al.; Connolly Bove Lodge & Hutz, 1990 M Street, N.W., Washington, DC 20036 (US).

(81) **Designated States (national):** AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) **Designated States (regional):** ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:
— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 01/60383 A1

(54) Title: METHODS FOR SYNTHESIZING 2-CHLORO-9-(2-DEOXY-2-FLUORO- β -D-ARABINOFURANOSYL)-9H-PURIN-6-AMINE

(57) Abstract: 2-Chloro-9-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)-9H-purin-9-amine is synthesized by reacting a 2-chloro-6-substituted purine with a protected and activated 2-deoxy-2-fluoro-D-arabinofuranose; and reacting with a base such as ammonia to provide 2-chloro-9-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)-9H-purin-6-amine. When the purine reactant is substituted in the 6 position with a halogen, a reaction step with an alkoxide is carried out prior to the reaction with ammonia.